

# EUROPEAN PATENT OFFICE

## Patent Abstracts of Japan

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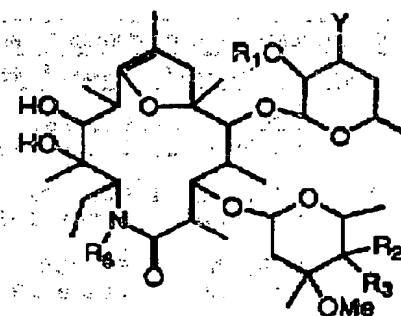
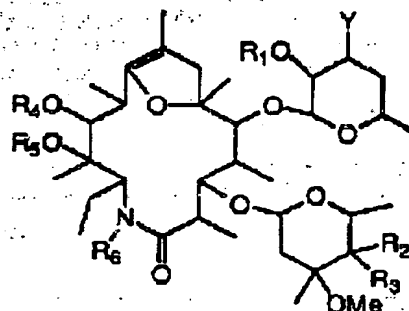
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APPLICANT : CHUGAI PHARMACEUT CO LTD;

INVENTOR : SATO TSUTOMU;

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TITLE : ERYTHROMYCIN DERIVATIVE



**ABSTRACT :** PURPOSE: To obtain the subject new derivative useful as a promoter for constriction movement of digestive canal, showing promoting action on constriction movement of digestive canal of mammals, capable of being orally administered, by substituting the hydroxyl group at the 12-position of erythromycin with a lower alkyl group.

**CONSTITUTION:** This new erythromycin derivative is shown by formula I [ $R_1$  is H or an acyl;  $R_2$  and  $R_3$  are each H, hydroxyl group, an acyloxy or  $R_2$  and  $R_3$  are bonded to form O;  $R_4$  is H or a lower alkyl;  $R_5$  is a lower alkyl;  $R_6$  is H or a lower alkyl; Y is a group of the formula  $R_7R_8$  ( $R_7$  and  $R_8$  are each H, an acyl, a lower alkyl, etc.) or the formula  $N^+R_9R_{10}X^-$  ( $R_9$  to  $R_{11}$  are each H or a lower alkyl; X is an anion)]. The derivative has promoting action on constriction movement of digestive canal of mammals, is useful as a promoter for constriction movement of digestive canal, and can be orally administered. This compound is obtained by treating an erythromycin of formula II with an alkylating agent in the presence of a base in an inert solvent to substitute the hydroxyl group at the 12-position of the erythromycin with a lower alkyl group and optionally deprotecting and alkylating the resultant substance.

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